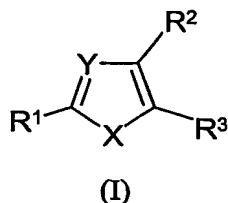


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## Claims:

1. A compound of formula (I):



wherein:

X is selected from NH, S and O;

Y is selected from CH or N;

10 R<sup>1</sup> is selected from cyano, isocyano, C<sub>1-6</sub>alkyl, -NR<sup>11</sup>R<sup>12</sup>, C<sub>1-6</sub>alkoxy, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, cycloalkyl, cycloalkenyl, aryl, and heterocyclyl, provided R<sup>1</sup> is not thienyl; and wherein R<sup>1</sup> may be optionally substituted on one or more carbon atoms by one or more R<sup>9</sup>; and wherein if said R<sup>1</sup> contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from R<sup>10</sup>;

15 R<sup>2</sup> and R<sup>3</sup> are each independently selected from -C(=O)NR<sup>6</sup>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>16</sup>R<sup>17</sup>, -NHC(=O)NHR<sup>4</sup>, and -NHC(=NR<sup>8</sup>)NH<sub>2</sub>;

R<sup>4</sup> is selected from H, OH, -NR<sup>11</sup>R<sup>12</sup>, benzyl, C<sub>1-6</sub>alkoxy, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, mercapto, CHO, -COaryl, -CO(C<sub>1-6</sub>alkyl), -CONR<sup>30</sup>R<sup>31</sup>, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>aryl, -CO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>, -Salkyl, -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -Saryl, -SOaryl, -SO<sub>2</sub>aryl, -SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>, and -(C<sub>1-6</sub>alkyl)SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup> wherein R<sup>4</sup> may be optionally substituted on one or more carbon atoms by one or more R<sup>15</sup>; and wherein if said heterocyclyl contains a -NH- moiety, the nitrogen may be optionally substituted by a group selected from R<sup>14</sup>;

25 R<sup>6</sup> and R<sup>7</sup> are each independently selected from H, OH, OCH<sub>3</sub>, C<sub>1-6</sub>alkoxy, -NH<sub>2</sub>, -NHCH<sub>3</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, (C<sub>1-3</sub>alkyl)NR<sup>11</sup>R<sup>12</sup>, -CH<sub>2</sub>CH<sub>2</sub>OH, cycloalkyl, and a 5, 6, or 7- membered heterocyclyl ring containing at least one nitrogen atom, provided R<sup>6</sup> and R<sup>7</sup> are not both H; alternatively R<sup>6</sup> and R<sup>7</sup> taken together with the N to which they are attached form a heterocyclic ring; wherein R<sup>6</sup> and R<sup>7</sup> independently of each other may be optionally substituted on one or

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more carbon atoms by one or more  $R^{18}$ ; and wherein if said heterocyclyl contains a -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{19}$ ;

$R^8$  is selected from cyano, isocyano,  $-\text{SO}_2(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}_2\text{-aryl}$ ;  $-\text{SO}_2\text{cycloalkyl}$ ,  $-\text{SO}_2\text{cycloalkenyl}$ ,  $-\text{SO}_2\text{heterocyclyl}$ , and  $\text{CF}_3$ ; wherein  $R^8$  may be optionally substituted on one or more carbon atoms by one or more  $R^{23}$ ;

$R^9$ ,  $R^{15}$ ,  $R^{18}$ ,  $R^{23}$ ,  $R^{24}$  and  $R^{33}$  are each independently selected from halogen, nitro,  $-\text{NR}^{30}\text{R}^{31}$ , cyano, isocyano,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{2-6}\text{alkenyl}$ ,  $\text{C}_{2-6}\text{alkynyl}$ , aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O),  $-\text{O}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{Oaryl}$ ,  $-\text{OCOalkyl}$ ,  $-\text{NHCHO}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CHO}$ ,  $-\text{NHCONR}^{30}\text{R}^{31}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CONR}^{30}\text{R}^{31}$ ,  $-\text{NHCOalkyl}$ ,  $-\text{NHCO}_2(\text{C}_{1-6}\text{alkyl})$ ;  $-\text{NHCO}_2\text{H}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{NH}\text{SO}_2(\text{C}_{1-6}\text{alkyl})$ , carboxy, -amidino, -CHO,  $-\text{CONR}^{30}\text{R}^{31}$ ,  $-\text{CO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{COheterocyclyl}$ ,  $-\text{COcycloalkyl}$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{CO}_2(\text{aryl})$ ,  $-\text{CO}_2(\text{NR}^{30}\text{R}^{31})$ , mercapto,  $-\text{S}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}_2(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}_2\text{NR}^{30}\text{R}^{31}$ ; wherein  $R^9$ ,  $R^{15}$ ,  $R^{18}$ ,  $R^{23}$ ,  $R^{24}$  and  $R^{33}$  independently of each other may be optionally substituted on carbon by one or more  $R^{20}$  and on nitrogen of any moiety that contains an NH or  $\text{NH}_2$  by  $R^{21}$ ;

$R^{10}$ ,  $R^{14}$ ,  $R^{19}$ ,  $R^{25}$  and  $R^{34}$  are each independently selected from halogen, nitro,  $-\text{NR}^{30}\text{R}^{31}$ , cyano, isocyano,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{2-6}\text{alkenyl}$ ,  $\text{C}_{2-6}\text{alkynyl}$ , aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O),  $-\text{O}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{Oaryl}$ ,  $-\text{OCOalkyl}$ ,  $-\text{NHCHO}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CHO}$ ,  $-\text{NHCONR}^{30}\text{R}^{31}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CONR}^{30}\text{R}^{31}$ ,  $-\text{NHCOalkyl}$ ,  $-\text{NHCO}_2(\text{C}_{1-6}\text{alkyl})$ ;  $-\text{NHCO}_2\text{H}$ ,  $-\text{N}(\text{C}_{1-6}\text{alkyl})\text{CO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{NH}\text{SO}_2(\text{C}_{1-6}\text{alkyl})$ , carboxy, -amidino, -CHO,  $-\text{CONR}^{30}\text{R}^{31}$ ,  $-\text{CO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{COheterocyclyl}$ ,  $-\text{COcycloalkyl}$ ,  $-\text{CO}_2\text{H}$ ,  $-\text{CO}_2(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{CO}_2(\text{aryl})$ ,  $-\text{CO}_2(\text{NR}^{30}\text{R}^{31})$ , mercapto,  $-\text{S}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}_2(\text{C}_{1-6}\text{alkyl})$ ,  $-\text{SO}_2\text{NR}^{30}\text{R}^{31}$ ; wherein  $R^{10}$ ,  $R^{14}$ ,  $R^{19}$ ,  $R^{25}$  and  $R^{34}$  independently of each other may be optionally substituted on carbon by one or more  $R^{22}$  and on nitrogen of any moiety that contains an NH or  $\text{NH}_2$  by  $R^{23}$ ;

$R^{11}$  and  $R^{12}$  are independently selected from H,  $\text{C}_{1-6}\text{alkyl}$ , cycloalkyl, aryl, heterocyclyl; alternatively  $R^{11}$  and  $R^{12}$  taken together with the N to which they are attached form a heterocyclic ring; wherein  $R^{11}$  and  $R^{12}$  independently of each other may be optionally substituted on carbon by one or more  $R^{33}$ ; and wherein if said heterocyclyl contains a -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{34}$ ;

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R<sup>16</sup> and R<sup>17</sup> are each independently selected from H, OH, OCH<sub>3</sub>, C<sub>1-6</sub>alkoxy, NH<sub>2</sub>, -NHCH<sub>3</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, (C<sub>1-3</sub>alkyl)NR<sup>11</sup>R<sup>12</sup>, -CH<sub>2</sub>CH<sub>2</sub>OH, cycloalkyl, aryl, or a 5, 6 or 7-membered heterocyclyl ring containing at least one nitrogen atom, provided R<sup>16</sup> and R<sup>17</sup> are not both H; alternatively R<sup>16</sup> and R<sup>17</sup> taken together with the N to which they are attached form an optionally substituted heterocyclic ring; wherein R<sup>16</sup> and R<sup>17</sup> independently of each other may be optionally substituted on one or more carbon atoms by one or more R<sup>24</sup>; and wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from R<sup>25</sup>;

R<sup>20</sup>, R<sup>22</sup> and R<sup>32</sup> are each independently selected from halogen, nitro, -NR<sup>30</sup>R<sup>31</sup>, cyano, isocyano, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O), -O(C<sub>1-6</sub>alkyl), -Oaryl, -OCOalkyl, -NHCHO, -N(C<sub>1-6</sub>alkyl)CHO, -NHCONR<sup>30</sup>R<sup>31</sup>, -N(C<sub>1-6</sub>alkyl)CONR<sup>30</sup>R<sup>31</sup>, -NHCOalkyl, -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl); -NHCO<sub>2</sub>H, -N(C<sub>1-6</sub>alkyl)CO(C<sub>1-6</sub>alkyl), -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl), carboxy, -amidino, -CHO, -CONR<sup>30</sup>R<sup>31</sup>, -CO(C<sub>1-6</sub>alkyl), -COheterocyclyl, -COcycloalkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>(aryl), -CO<sub>2</sub>(NR<sup>30</sup>R<sup>31</sup>), mercapto, -S(C<sub>1-6</sub>alkyl), -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>; wherein R<sup>20</sup>, R<sup>21</sup> and R<sup>32</sup> independently of each other may be optionally substituted on carbon by one or more R<sup>26</sup> and on nitrogen of any moiety that contains an NH or NH<sub>2</sub> by R<sup>27</sup>;

R<sup>21</sup>, R<sup>23</sup> and R<sup>35</sup> are each independently selected from halogen, nitro, -NR<sup>30</sup>R<sup>31</sup>, cyano, isocyano, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O), -O(C<sub>1-6</sub>alkyl), -Oaryl, -OCOalkyl, -NHCHO, -N(C<sub>1-6</sub>alkyl)CHO, -NHCONR<sup>30</sup>R<sup>31</sup>, -N(C<sub>1-6</sub>alkyl)CONR<sup>30</sup>R<sup>31</sup>, -NHCOalkyl, -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl); -NHCO<sub>2</sub>H, -N(C<sub>1-6</sub>alkyl)CO(C<sub>1-6</sub>alkyl), -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl), carboxy, -amidino, -CHO, -CONR<sup>30</sup>R<sup>31</sup>, -CO(C<sub>1-6</sub>alkyl), -COheterocyclyl, -COcycloalkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>(aryl), -CO<sub>2</sub>(NR<sup>30</sup>R<sup>31</sup>), mercapto, -S(C<sub>1-6</sub>alkyl), -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>; wherein R<sup>21</sup>, R<sup>23</sup> and R<sup>35</sup> independently of each other may be optionally substituted on carbon by one or more R<sup>28</sup> and on nitrogen of any moiety that contains an NH by R<sup>29</sup>;

R<sup>26</sup> and R<sup>28</sup> are each independently selected from halogen, nitro, -NR<sup>30</sup>R<sup>31</sup>, cyano, isocyano, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O), -O(C<sub>1-6</sub>alkyl), -Oaryl, -OCOalkyl, -NHCHO, -N(C<sub>1-6</sub>alkyl)CHO,

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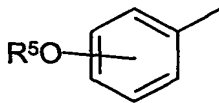
-NHCONR<sup>30</sup>R<sup>31</sup>, -N(C<sub>1-6</sub>alkyl)CONR<sup>30</sup>R<sup>31</sup>, -NHCOalkyl, -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl); -NHCO<sub>2</sub>H, -N(C<sub>1-6</sub>alkyl)CO(C<sub>1-6</sub>alkyl), -NHSO<sub>2</sub>(C<sub>1-6</sub>alkyl), carboxy, -amidino, -CHO, -CONR<sup>30</sup>R<sup>31</sup>, -CO(C<sub>1-6</sub>alkyl), -COheterocyclyl, -COcycloalkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>(aryl), -CO<sub>2</sub>(NR<sup>30</sup>R<sup>31</sup>), mercapto, -S(C<sub>1-6</sub>alkyl), -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>;

- 5 R<sup>27</sup> and R<sup>29</sup> are each independently selected from halogen, nitro, -NR<sup>30</sup>R<sup>31</sup>, cyano, isocyano, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O), -O(C<sub>1-6</sub>alkyl), -Oaryl, -OCOalkyl, -NHCHO, -N(C<sub>1-6</sub>alkyl)CHO, -NHCONR<sup>30</sup>R<sup>31</sup>, -N(C<sub>1-6</sub>alkyl)CONR<sup>30</sup>R<sup>31</sup>, -NHCOalkyl, -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl); -NHCO<sub>2</sub>H, -N(C<sub>1-6</sub>alkyl)CO(C<sub>1-6</sub>alkyl), -NHSO<sub>2</sub>(C<sub>1-6</sub>alkyl), carboxy, -amidino, -CHO, -CONR<sup>30</sup>R<sup>31</sup>, -CO(C<sub>1-6</sub>alkyl), -COheterocyclyl, -COcycloalkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>(aryl), -CO<sub>2</sub>(NR<sup>30</sup>R<sup>31</sup>), mercapto, -S(C<sub>1-6</sub>alkyl), -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>NR<sup>30</sup>R<sup>31</sup>;
- 10

- R<sup>30</sup> and R<sup>31</sup> are each independently selected from halogen, nitro, -NH<sub>2</sub>, cyano, isocyano, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, aryl, cycloalkyl, heterocyclyl, hydroxy, keto(=O), -O(C<sub>1-6</sub>alkyl), -Oaryl, -OCOalkyl, -NHCHO, -N(C<sub>1-6</sub>alkyl)CHO, -NHCONR<sup>11</sup>R<sup>12</sup>, -N(C<sub>1-6</sub>alkyl)CONR<sup>11</sup>R<sup>12</sup>, -NHCOalkyl, -NHCO<sub>2</sub>(C<sub>1-6</sub>alkyl); -NHCO<sub>2</sub>H, -N(C<sub>1-6</sub>alkyl)CO(C<sub>1-6</sub>alkyl), -NHSO<sub>2</sub>(C<sub>1-6</sub>alkyl), carboxy, -amidino, -CHO, -CONR<sup>30</sup>R<sup>31</sup>, -CO(C<sub>1-6</sub>alkyl), -COheterocyclyl, -COcycloalkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>(C<sub>1-6</sub>alkyl), -CO<sub>2</sub>(aryl), -CO<sub>2</sub>(NR<sup>30</sup>R<sup>31</sup>), mercapto, -S(C<sub>1-6</sub>alkyl), -SO(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>(C<sub>1-6</sub>alkyl), -SO<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>; wherein R<sup>30</sup> and R<sup>31</sup> independently of each other may be optionally substituted on carbon by one or more R<sup>32</sup>; and wherein if said
- 15
- 20 heterocyclyl contains a -NH- or NH<sub>2</sub> moiety, the nitrogen of said moiety may be optionally substituted by a group selected from R<sup>35</sup>;

or a pharmaceutically acceptable salt thereof;

provided that when X is S; Y is CH; R<sub>2</sub> is C(=O)NR<sup>6</sup>R<sup>7</sup>; and R<sup>3</sup> is NHC(=O)NHR<sup>4</sup>; then R<sup>1</sup> cannot be



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wherein R<sup>5</sup> is selected from H, optionally substituted carbocyclyl, or optionally substituted C<sub>1-6</sub>alkyl; with the further proviso that said compound is not 5-Methyl-2-ureido-thiophene-3-carboxylic acid (1-ethyl-piperidin-3-yl)-amide; [3-((S)-3-Amino-azepane-1-carbonyl)-5-ethyl-thiophen-2-yl]-urea;

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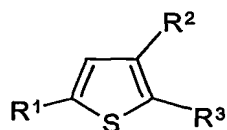
2-Morpholin-4-yl-4-ureido-thiazole-5-carboxylic acid (S)-piperidin-3-ylamide;  
 2-Methyl-5-ureido-oxazole-4-carboxylic acid (S)-piperidin-3-ylamide;  
 5-(4-Chloro-phenyl)-3-{3-[(R)-1-(2,2,2-trifluoro-acetyl)-piperidin-3-yl]-ureido}-  
 thiophene-2-carboxylic acid (S)-piperidin-3-ylamide; or  
 5 N-(3-[[[(3S)-3-aminoazepan-1-yl]carbonyl]-5-pyridin-2-yl-2-thienyl]urea.

2. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to claim 1, wherein  $R^1$  is selected from cycloalkyl, cycloalkenyl, aryl, and heterocyclyl, provided  $R^1$  is not thienyl; and wherein  $R^1$  may be optionally substituted on one or more carbon atoms by one or more  $R^9$ ; and further wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{10}$ .
3. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to claim 1 or 2 wherein  $R^1$  is aryl optionally substituted on one or more carbon atoms by one or more  $R^9$ .
4. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to any one of claims 1-3 wherein one of  $R^2$  and  $R^3$  is  $-SO_2N R^{16}R^{17}$  and the other is  $-NHC(=O)NHR^4$ .
5. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to any one of claims 1-4 wherein one of  $R^2$  and  $R^3$  is  $-C(=O)NR^6R^7$  and the other is  $-NHC(=O)NHR^4$ .
6. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to any one of claims 1-5 wherein one of  $R^2$  and  $R^3$  is  $C(=O)NR^6R^7$  and the other is  $-NHC(=O)NHR^4$ ;  $R^6$  is H and  $R^7$  is a 5, 6, or 7-membered heterocyclyl ring containing at least one nitrogen atom; and wherein said heterocyclyl may be optionally substituted on one or more carbon atoms by one or more  $R^{18}$ ; and further wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{19}$ .

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7. A compound of formula (I), or a pharmaceutically acceptable salt thereof, according to any one of claims 1 to 5 wherein  $R^6$  and  $R^7$  taken together with the N to which they are attached form an optionally substituted heterocyclic ring which may be optionally substituted on one or more carbon atoms by one or more  $R^{18}$ ; and wherein if said heterocyclyl contains a -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{19}$ .

8. A compound of formula (II), or a pharmaceutically acceptable salt thereof,



wherein  $R^1$ ,  $R^2$ , and  $R^3$  are as defined in any one of claims 1-7

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9. A compound, or pharmaceutically acceptable salt according to any one of claims 1, 2, 5, 6 and 8 wherein

$R^2$  is  $-C(=O)NR^6R^7$ ;

$R^3$  is  $-NHC(=O)NHR^4$ ;

15

$R^6$  is H;  $R^7$  is a 5, 6, or 7-membered heterocyclyl ring containing at least one nitrogen atom; wherein said heterocyclyl may be optionally substituted on one or more carbon atoms by one or more  $R^{18}$ ; and further wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{10}$ ; and

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$R^1$  is selected from cycloalkyl, cycloalkenyl, aryl, and heterocyclyl, provided  $R^1$  is not thienyl; and wherein  $R^1$  may be optionally substituted on one or more carbon atoms by one or more  $R^9$ ; and further wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from  $R^{19}$ .

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10. A compound, or pharmaceutically acceptable salt thereof, according to any one of claims 1, 2, 5, 6, 8 and 9 wherein

$R^3$  is  $-C(=O)NR^6R^7$ ;

$R^2$  is  $-NHC(=O)NHR^4$ ;

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R<sup>6</sup> is H; R<sup>7</sup> is a 5, 6, or 7-membered heterocyclyl ring containing at least one nitrogen atom wherein R<sup>7</sup> may be optionally substituted on one or more carbon atoms by one or more R<sup>18</sup>; and wherein if said heterocyclyl contains a -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from R<sup>19</sup>; and R<sup>1</sup> is selected from cycloalkyl, cycloalkenyl, aryl, and heterocyclyl, provided R<sup>1</sup> is not thienyl; and wherein R<sup>1</sup> may be optionally substituted on one or more carbon atoms by one or more R<sup>9</sup>; and further wherein if said heterocyclyl contains an -NH- moiety, the nitrogen of said moiety may be optionally substituted by a group selected from R<sup>10</sup>

11. A compound, or pharmaceutically acceptable salt, according to claim 1 selected from
- 5-(3-Fluoro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-Phenyl-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-(3,5-Difluoro-phenyl)-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-(4-Fluoro-phenyl)-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-(4-Chloro-phenyl)-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-(3-Chloro-phenyl)-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-[4-(Piperidine-1-carbonyl)-phenyl]-2-ureido-thiophene-3-carboxylic acid (S)-piperidin-3-ylamide;  
5-(4-Cyano-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-[4-(Piperidine-1-carbonyl)-phenyl]-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-(3,4-Difluoro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-(3-Chloro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-(2,3-Difluoro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-(2,4-Difluoro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-(3,5-Difluoro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide;  
5-Phenyl-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide; and  
5-(4-Chloro-phenyl)-3-ureido-thiophene-2-carboxylic acid (S)-piperidin-3-ylamide.

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12. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, for use in the treatment or prophylaxis of disorders associated with cancer.

13. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, for the use in treatment or prophylaxis of neoplastic disease such as cervical cancer, cancer of the head and neck, carcinoma of the breast, ovary, lung(non small cell), pancreas,, colon, prostate or other tissues, as well as leukemias and lymphomas, tumors of the central and peripheral nervous system, and other tumor types such as melanoma, fibrosarcoma and osteosarcoma.

14. A compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, for use in the treatment or prophylaxis of proliferative diseases including autoimmune, inflammatory, neurological, and cardiovascular diseases.

15. A method of limiting cell proliferation in a human or animal comprising administering to said human or animal a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

16. A method of treatment of a human or animal suffering from cancer comprising administering to said human or animal a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

17. A method of prophylaxis treatment of cancer comprising administering to a human or animal in need of such treatment a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

18. A method of treatment of a human or animal suffering from a neoplastic disease such as cervical cancer, cancer of the head and neck, carcinoma of the breast, ovary, lung (non small cell), pancreas, colon, prostate or other tissues, as well as leukemias and lymphomas, tumors of the central and peripheral nervous system, and other tumor types such as melanomasarcomas



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including fibrosarcoma and osteosarcoma, malignant brain tumors, comprising administering to said human or animal a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

5 19. A method of treatment of a human or animal suffering from a proliferative disease such as autoimmune, inflammatory, neurological, and cardiovascular diseases comprising administering to said human or animal a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

10 20. A method of treating cancer comprising administering to a human a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, and an anti-tumor agent.

15 21. A method of treating cancer comprising administering to a human or animal a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, and a DNA damaging agent.

20 22. A method for the treatment of infections associated with cancer comprising administering to a human or animal in need of such treatment a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

25 23. A method for the prophylaxis treatment of infections associated with cancer comprising administering to a human or animal in need of such treatment a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

24. A pharmaceutical composition comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, together with at least one pharmaceutically acceptable carrier, diluent or excipient.

30

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25. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament.

5 26. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament for the treatment or prophylaxis of cancer.

10 27. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament for the treatment or prophylaxis of neoplastic disease such as carcinoma of the breast, ovary, lung, colon, prostate or other tissues, as well as leukemias and lymphomas including CLL and CML, tumors of the central and peripheral nervous system, and other tumor types such as melanoma, fibrosarcoma and osteosarcoma.

15 28. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament for the treatment or prophylaxis of proliferative diseases including autoimmune, inflammatory, neurological, and cardiovascular diseases.

20 29. A method of inhibiting CHK1 kinase comprising administering to an animal or human in need of said inhibiting a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11.

25 30. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament for use in the inhibition of CHK1 kinase activity.

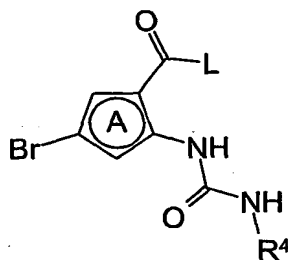
30 31. The use of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as claimed in any one of claims 1-11, in the preparation of a medicament for use in limiting cell proliferation.

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32. A process for the preparation of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 11, which comprises:

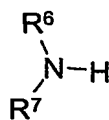
a. reacting a compound with formula (III) wherein A is thienyl and L is a displaceable

5 group



(III)

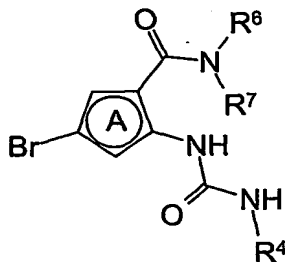
with an amine of formula (IV);



(IV)

10

to yield a compound of formula (V)



(V);

15

b. reacting a compound with formula (V) with a boronic acid or ester

to form a compound of formula (I); and

c. optionally

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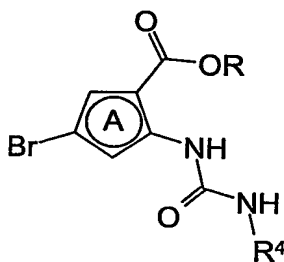
- i) converting a compound of the formula (I) into another compound of the formula (I);  
and/or  
ii) forming a pharmaceutically acceptable salt thereof.

5

33. A process for the preparation of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 11, which comprises:

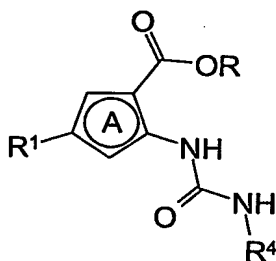
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- a. reacting a compound of formula (VII) wherein A is thienyl and R is a hydrocarbon radical;



(VII)

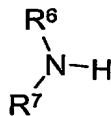
with a boronic acid or ester to form a compound of formula (VIII):



(VIII)

15

- b. reacting a compound of formula (VIII) with an amine of formula (IV)



(IV)

to form a compound of formula (I); and

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c. optionally,

i) converting a compound of the formula (I) into another compound of the formula (I);  
and/or

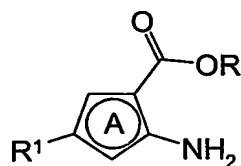
ii) forming a pharmaceutically acceptable salt thereof.

5

34. A process for the preparation of a compound of formula (I) or a pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 11 which comprises:

10

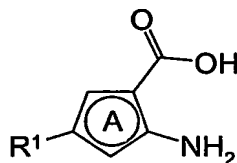
a. reacting a compound of formula (IX) wherein A is thienyl and R is a hydrocarbon radical;



(IX)

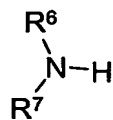
with a concentrated hydroxide base to form a compound of formula (X);

15



(X)

b. reacting the compound of formula (X) with an amine of formula (IV)

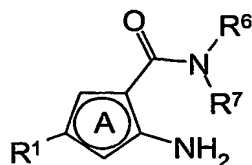


(IV)

20

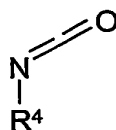
to form a compound of formula (XI)

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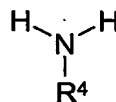


(XI)

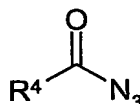
c. reacting the compound of formula (XI) with a compound selected from compounds of formulas (XII), (XIII) and a carbonylation reagent or (XIV);



(XII)



(XIII)



(XIV)

to form a compound of formula (I); and

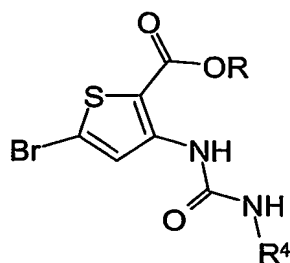
d. optionally,

i) converting a compound of the formula (I) into another compound of the formula (I);  
and/or

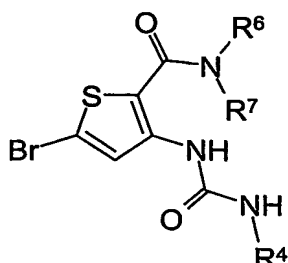
ii) forming a pharmaceutically acceptable salt thereof.

35. A compound of formula (XV), (XVI) or (XI)

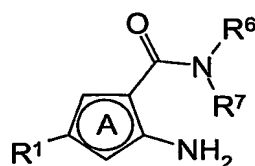
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(XV)



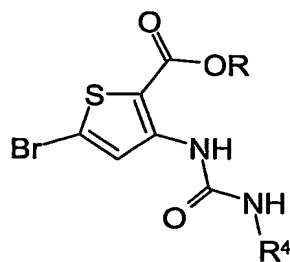
(XVI)



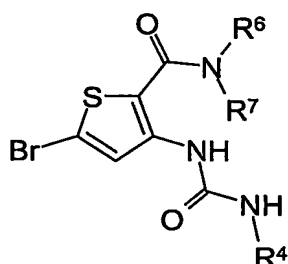
(XI)

wherein  $R^1$  is aryl and  $R^4$ ,  $R^6$  and  $R^7$  are as defined in formula (I), A is a thienyl ring and R is a hydrocarbon radical and provided that the compound of formula (XI) is not 3-Amino-5-(4-chloro-phenyl)-thiophene-2-carboxylic acid [(1R,2R)-2-(2,4-difluoro-phenyl)-2-hydroxy-1-methyl-3-[1,2,4]triazol-1-yl-propyl]-amide.

36. The use of compounds of formulas (XV), (XVI), (XI)

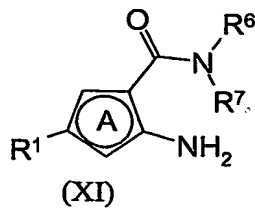


(XV)



(XVI)

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wherein R<sup>1</sup> is aryl and R<sup>4</sup>, R<sup>6</sup> and R<sup>7</sup> are as defined in formula (I), A is a thienyl ring and R is a hydrocarbon radical or pharmaceutically acceptable salts or an *in vivo* hydrolysable precursor in the manufacture of a compound of formula (I) according to any one of claims 1-11.